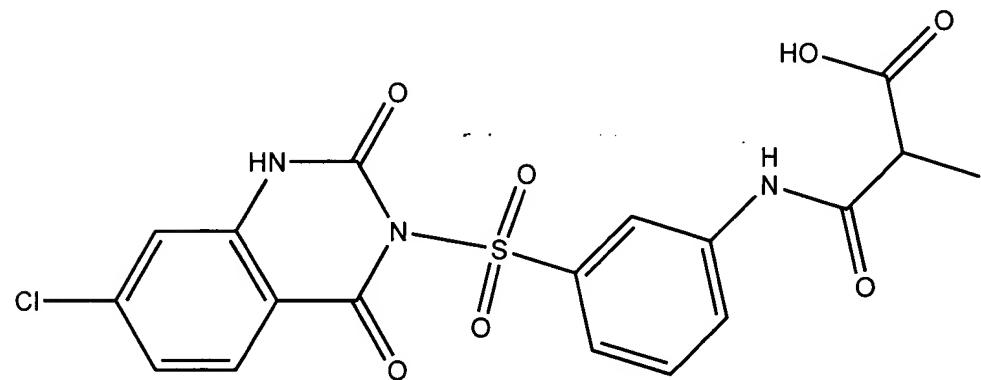


2-{3-[(7-chloro-2,4(1H,3H)-quinazolinedion-3-yl)sulfonyl]phenylaminocarbonyl}propionic acid



2-{3-[(7-chloro-2,4(1H,3H)-quinazolinedion-3-yl)sulfonyl]phenylaminocarbonyl}propionic acid

FILE 'REGISTRY' ENTERED AT 16:12:13 ON 24 JUL 2001
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DICTIONARY FILE UPDATES: 23 JUL 2001 HIGHEST RN 347837-42-7

TSCA INFORMATION NOW CURRENT THROUGH January 11, 2001

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conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT
for details.

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=>
Uploading C:\STNEXP4\QUERIES\09763213 (101).str

L1 STRUCTURE UPLOADED

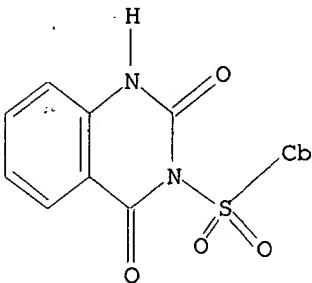
=> que L1

L2 QUE L1

=> d 12

L2 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.
L2 QUE ABB=ON PLU=ON L1

=> s 12
SAMPLE SEARCH INITIATED 16:12:51 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 54 TO ITERATE

100.0% PROCESSED 54 ITERATIONS 11 ANSWERS
SEARCH TIME: 00.00.01FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 640 TO 1520
PROJECTED ANSWERS: 22 TO 418

L3 11 SEA SSS SAM L1

=> s 12 sss full
FULL SEARCH INITIATED 16:13:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 771 TO ITERATE100.0% PROCESSED 771 ITERATIONS 97 ANSWERS
SEARCH TIME: 00.00.01

L4 97 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 133.87 134.02FILE 'CAPLUS' ENTERED AT 16:13:23 ON 24 JUL 2001
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FILE COVERS 1947 - 24 Jul 2001 VOL 135 ISS 5
FILE LAST UPDATED: 23 Jul 2001 (20010723/ED)

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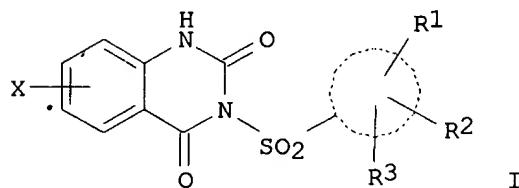
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L5 5 L4

=> d fbib abs hitstr tot

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS
AN 2001:338384 CAPLUS
DN 134:348278
TI Inhibitors against vascular lipid deposition containing chymase-inhibiting substances
IN Fukami, Harukazu; Urata, Hidenori
PA Suntory Limited, Japan
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	<u>WO 2001032214</u>	A1	20010510	WO 2000-JP7706	20001101
	W: AU, CA, CN, HU, JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
				JP 1999-311257 A	19991101

GI



AB Preventive or therapeutic agents for diseases accompanied with vascular function disorders related to deposition of lipid on vessel walls, contg. specific chymase inhibitors as the active ingredient. Quinazoline derivs. of general formula (I) are usable as the specific chymase inhibitor. In said formula, A is an arom. ring.
IT 189062-66-6P 259536-59-9P 259536-60-2P

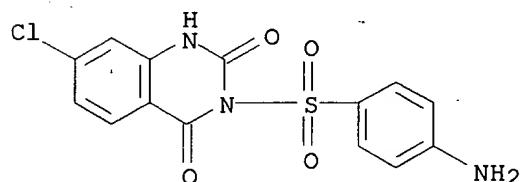
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 259536-70-4P 259536-71-5P 259536-72-6P
 259536-73-7P 259536-74-8P 259536-75-9P
 259536-76-0P 259536-77-1P 259536-78-2P
 259536-79-3P 259536-80-6P 259536-81-7P
 259536-82-8P 259536-83-9P 259536-84-0P
 259536-87-3P 259536-88-4P 259536-89-5P
 259536-91-9P 338998-94-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitors against vascular lipid deposition contg. quinazoline chymase-inhibiting substances)

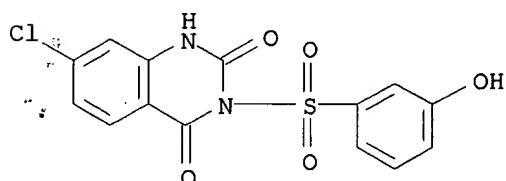
RN 189062-66-6 CAPLUS

CN 2,4 (1H,3H)-Quinazolinedione, 3-[(4-aminophenyl)sulfonyl]-7-chloro- (9CI)
 (CA INDEX NAME)



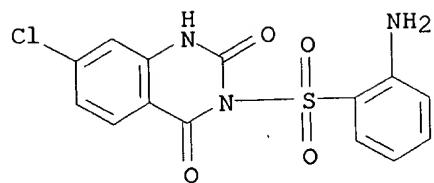
RN 259536-59-9 CAPLUS

CN 2,4 (1H,3H)-Quinazolinedione, 7-chloro-3-[(3-hydroxyphenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)

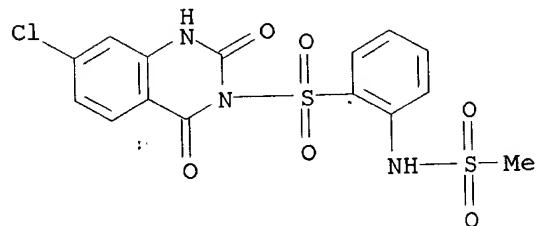


RN 259536-60-2 CAPLUS

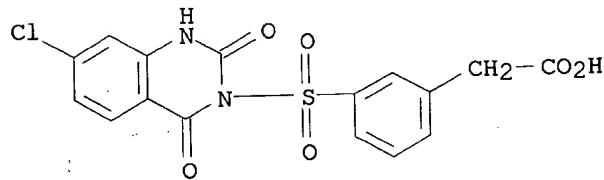
CN 2,4 (1H,3H)-Quinazolinedione, 3-[(2-aminophenyl)sulfonyl]-7-chloro- (9CI)
 (CA INDEX NAME)



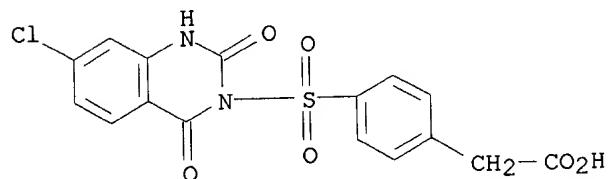
RN 259536-61-3 CAPLUS
 CN Methanesulfonamide, N-[2-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 259536-62-4 CAPLUS
 CN Benzeneacetic acid, 3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)

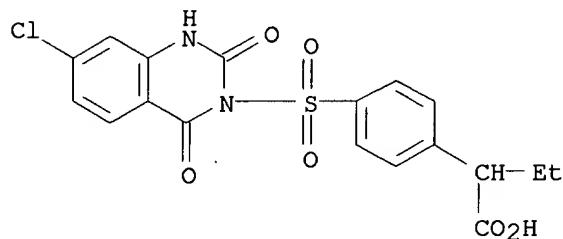


RN 259536-63-5 CAPLUS
 CN Benzeneacetic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)

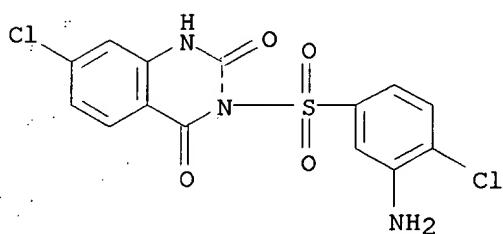


RN 259536-64-6 CAPLUS

CN Benzeneacetic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-.alpha.-ethyl- (9CI) (CA INDEX NAME)

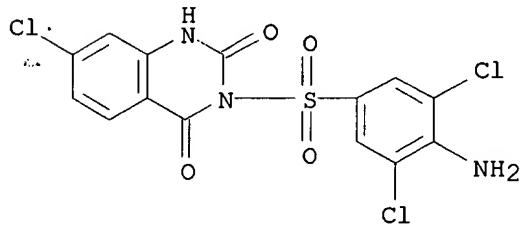


RN 259536-65-7 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione,
3-[(3-amino-4-chlorophenyl)sulfonyl]-7-chloro-
(9CI) (CA INDEX NAME)

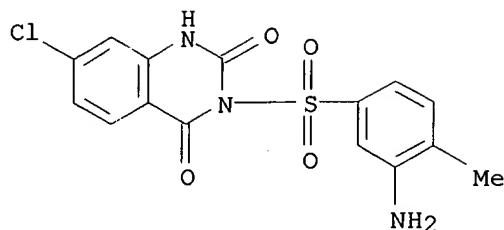
RN 259536-66-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-amino-3,5-dichlorophenyl)sulfonyl]-7-chloro- (9CI) (CA INDEX NAME)



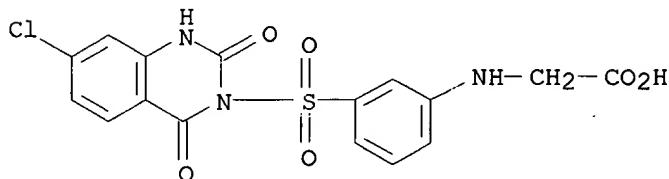
RN 259536-67-9 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione,
3-[(3-amino-4-methylphenyl)sulfonyl]-7-chloro-
(9CI) (CA INDEX NAME)



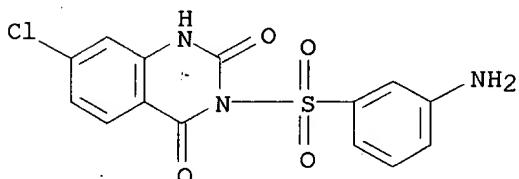
RN 259536-68-0 CAPLUS

CN Glycine, N-[3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



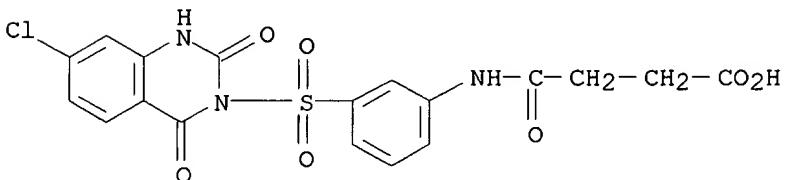
RN 259536-69-1 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(3-aminophenyl)sulfonyl]-7-chloro- (9CI)
(CA INDEX NAME)



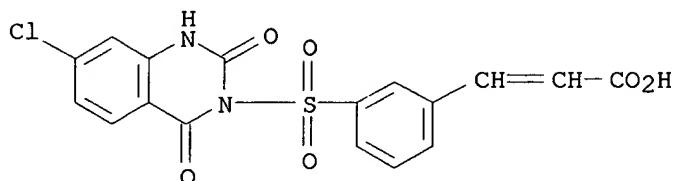
RN 259536-70-4 CAPLUS

CN Butanoic acid, 4-[[3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



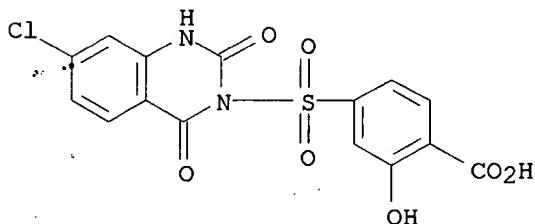
RN 259536-71-5 CAPLUS

CN 2-Propenoic acid, 3-[3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



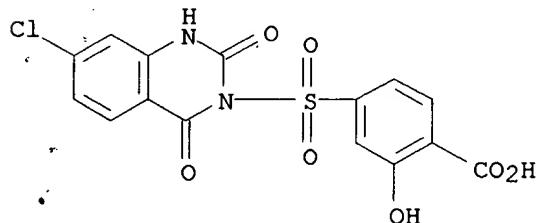
RN 259536-72-6 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-hydroxy- (9CI) (CA INDEX NAME)



RN 259536-73-7 CAPLUS

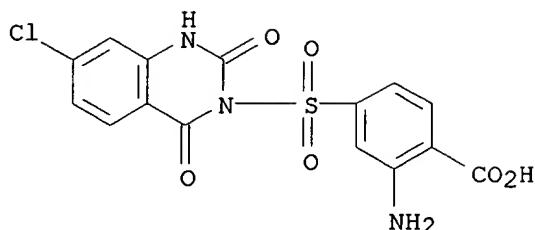
CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)



● Na

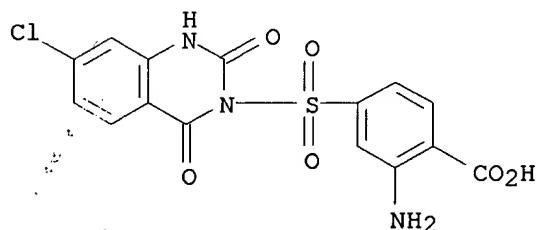
RN 259536-74-8 CAPLUS

CN Benzoic acid, 2-amino-4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 259536-75-9 CAPLUS

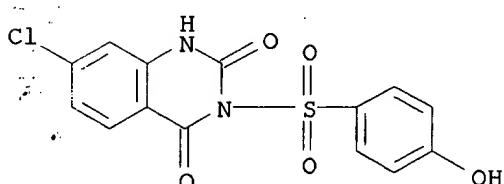
CN Benzoic acid, 2-amino-4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

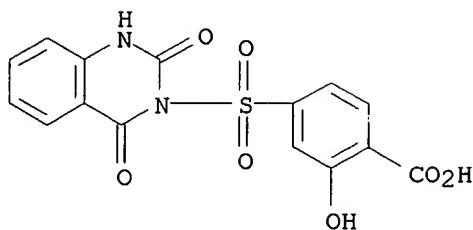
RN 259536-76-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-hydroxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



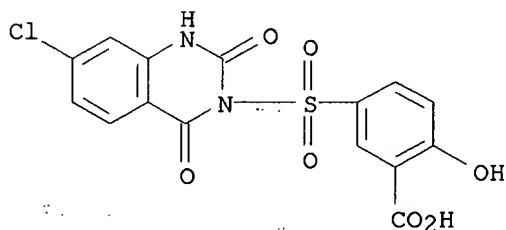
RN 259536-77-1 CAPLUS

CN Benzoic acid, 4-[(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-hydroxy- (9CI) (CA INDEX NAME)



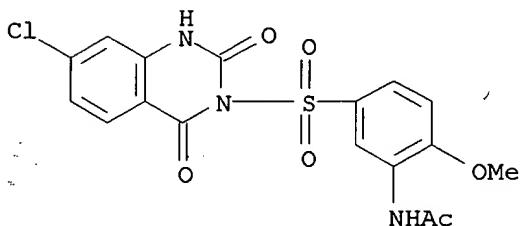
RN 259536-78-2 CAPLUS

CN Benzoic acid, 5-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-hydroxy- (9CI) (CA INDEX NAME)



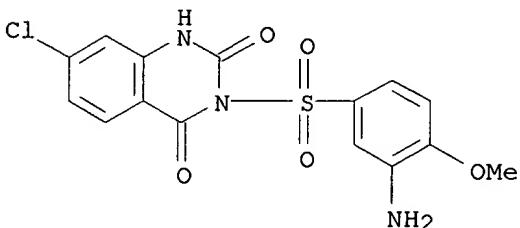
RN 259536-79-3 CAPLUS

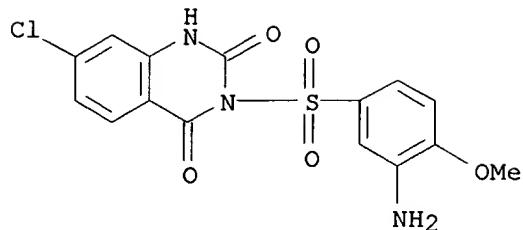
CN Acetamide, N-[5-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)



RN 259536-80-6 CAPLUS

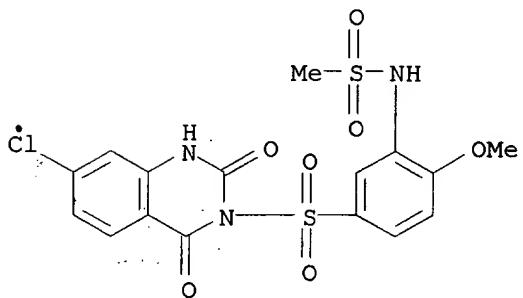
CN 2,4(1H,3H)-Quinazolinedione, 3-[(3-amino-4-methoxyphenyl)sulfonyl]-7-chloro- (9CI) (CA INDEX NAME)





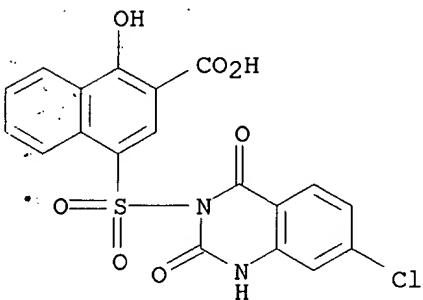
RN 259536-81-7 CAPLUS

CN Methanesulfonamide, N-[5-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)



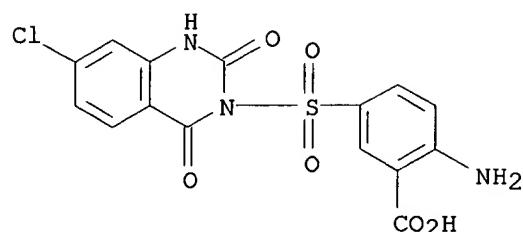
RN 259536-82-8 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-1-hydroxy- (9CI) (CA INDEX NAME)



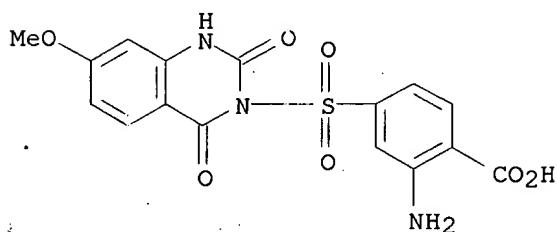
RN 259536-83-9 CAPLUS

CN Benzoic acid, 2-amino-5-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



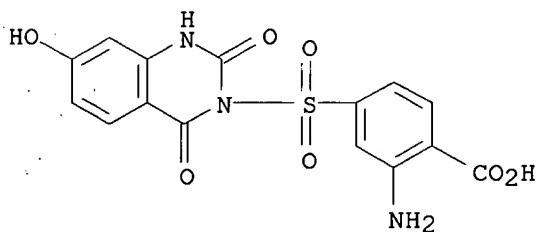
RN 259536-84-0 CAPLUS

CN Benzoic acid, 2-amino-4-[(1,4-dihydro-7-methoxy-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



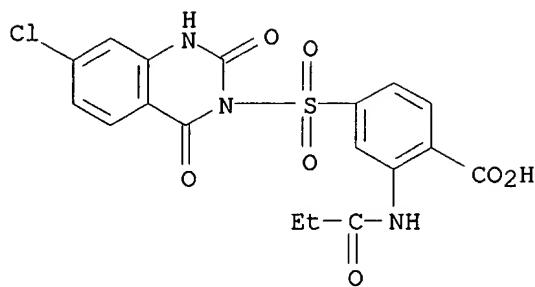
RN 259536-87-3 CAPLUS

CN Benzoic acid, 2-amino-4-[(1,4-dihydro-7-hydroxy-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



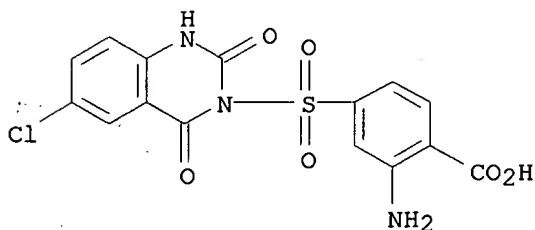
RN 259536-88-4 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-[(1-oxopropyl)amino]- (9CI) (CA INDEX NAME)



RN 259536-89-5 CAPLUS

CN Benzoic acid, 2-amino-4-[(6-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



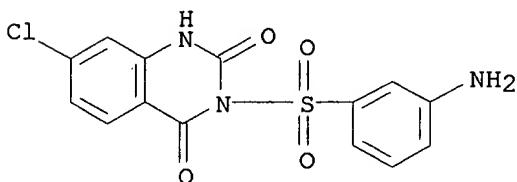
RN 259536-91-9 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(3-aminophenyl)sulfonyl]-7-chloro-, monomethanesulfonate (9CI) (CA INDEX NAME)

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CRN 259536-69-1

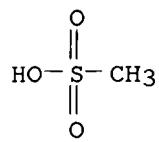
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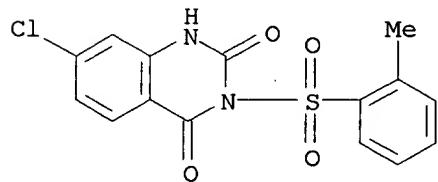
CM 2

CRN 75-75-2

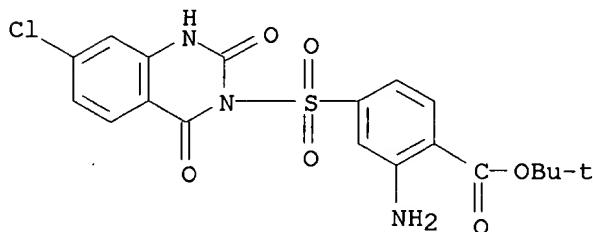
CMF C H4 O3 S



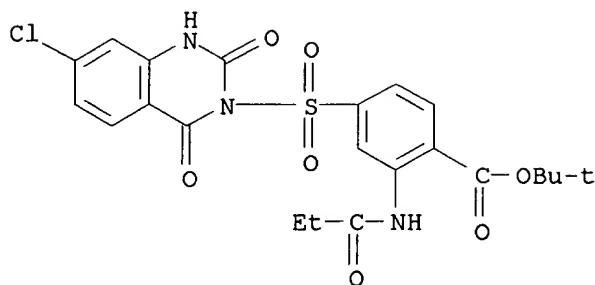
RN 338998-94-0 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(2-methylphenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)



IT 259537-02-5P 338998-96-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (inhibitors against vascular lipid deposition contg. quinazoline
 chymase-inhibiting substances)
 RN 259537-02-5 CAPLUS
 CN Benzoic acid, 2-amino-4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-
 quinazolinyl)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 338998-96-2 CAPLUS
 CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-
 quinazolinyl)sulfonyl]-2-[(1-oxopropyl)amino]-, 1,1-dimethylethyl ester
 (9CI) (CA INDEX NAME)



RE.CNT 5

RE

- (1) Bult, H; European Journal of Pharmacology 1999, V375(3), P157
- (2) Paananen, K; Journal of Biological Chemistry 1994, V269(3), P2023 CAPLUS
- (3) Suntory Limited; US 5814631 A CAPLUS
- (4) Suntory Limited; WO 9711941 A1 CAPLUS
- (5) Suntory Limited; EP 795548 A1 1997 CAPLUS

LS: ANSWER 2 OF 5 CAPLUS COPYRIGHT 2001 ACS
 AN 2000:530563 CAPLUS

DN 133:248824

TI Substituted 3-phenylsulfonylquinazoline-2,4-dione derivatives as novel nonpeptide inhibitors of human heart chymase

AU Fukami, Harukazu; Imajo, Seiichi; Ito, Akiko; Kakutani, Saki; Shibata, Hiroshi; Sumida, Motoo; Tanaka, Taisaku; Niwata, Shinjiro; Saitoh, Masayuki; Kiso, Yoshinobu; Miyazaki, Mizuo; Okunishi, Hideki; Urata, Hidenori; Arakawa, Kikuo

CS Institute for Biomedical Research, Suntory Ltd., Osaka, 618-8503, Japan
 SO Drug Des. Discovery (2000), 17(1), 69-84

CODEN: DDDIEV; ISSN: 1055-9612

PB Harwood Academic Publishers

DT Journal

LA English

AB A series of 3-phenylsulfonylquinazoline-2,4-dione derivs. have been synthesized and evaluated for their ability to inhibit human heart chymase. The structure-activity relation studies on these compds. gave the following results. The Ph moiety of quinazoline participates in a hydrophobic interaction where an optimum size is required. In this moiety, 7-chloroquinazoline is the best moiety for inhibiting chymase, chymotrypsin and cathepsin G. A 3-phenylsulfonyl moiety substituted with hydrophobic electron-withdrawing groups at the 4-position potentiated the activity. Anthranil moiety also enhanced the activity. Pyridylmethyl

and

N-pyridylacetamide at the 1-position gave an IC50 in the order of 10-8M. Mol. modeling studies on the interaction of 7-chloro-3-(4-chlorophenylsulfonyl)quinazoline-2,4(1H, 3H)-dione with the active site

of

human heart chymase suggested that the Ph moiety of quinazoline interacts with the hydrophobic P1 pocket, the 3-phenylsulfonyl moiety resides in the S1'-S2' subsites, the moiety at the 1-position locates in the S2-S3

subsites and the 4-carbonyl and 3-sulfonyl group interact with the oxyanion hole and the His57 side-chain of chymase, resp.

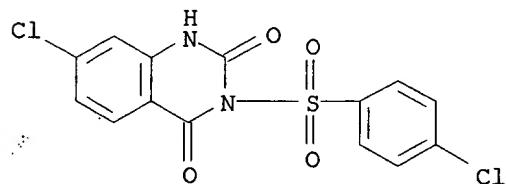
IT **189061-05-0P**

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(substituted phenylsulfonylquinazolinedione derivs. as novel nonpeptide

inhibitors of human heart chymase in relation to structure and inhibition of chymotrypsin and cathepsin G)

RN 189061-05-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-chlorophenyl)sulfonyl]- (9CI)
(CA INDEX NAME)



IT **116445-93-3P 116445-95-5P 189061-07-2P**

189061-10-7P 189061-12-9P 189061-16-3P

189061-18-5P 189061-20-9P 189061-22-1P

189061-24-3P 189061-26-5P 189061-29-8P

189061-31-2P 189061-35-6P 189061-37-8P

189061-43-6P 189061-45-8P 189061-46-9P

189061-47-0P 189061-48-1P 189061-54-9P

189061-56-1P 189061-59-4P 189062-46-2P

189062-47-3P 189062-51-9P 189062-52-0P

189062-55-3P 189062-61-1P 189062-66-6P

189062-67-7P 189062-69-9P 189062-70-2P

259536-59-9P 259536-69-1P 259536-72-6P

259536-74-8P 259536-76-0P 294865-37-5P

294865-38-6P 294865-39-7P 294865-40-0P

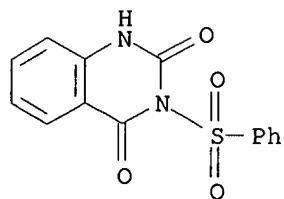
294865-41-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(substituted phenylsulfonylquinazolinedione derivs. as novel nonpeptide

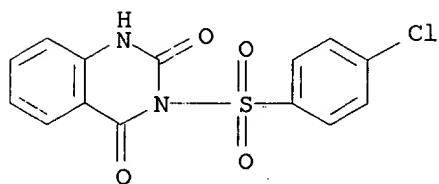
inhibitors of human heart chymase in relation to structure and inhibition of chymotrypsin and cathepsin G)

RN 116445-93-3 CAPLUS

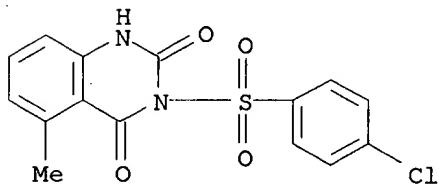
CN 2,4(1H,3H)-Quinazolinedione, 3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



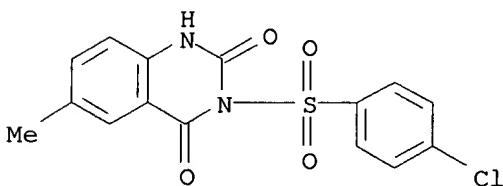
RN 116445-95-5 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 189061-07-2 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-5-methyl- (9CI) (CA INDEX NAME)

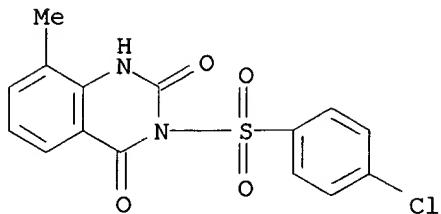


RN 189061-10-7 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-6-methyl- (9CI) (CA INDEX NAME)



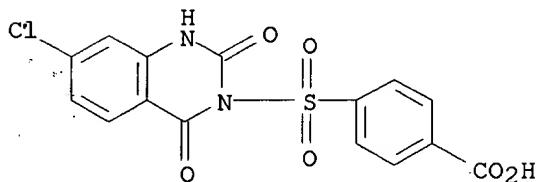
RN 189061-12-9 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-8-methyl- (9CI) (CA INDEX NAME)

(CA INDEX NAME)



RN 189061-16-3 CAPLUS

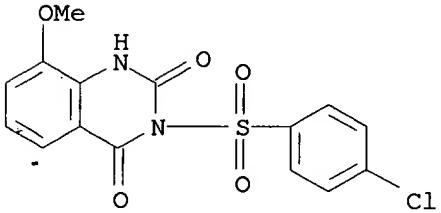
CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 189061-18-5 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-8-methoxy- (9CI)

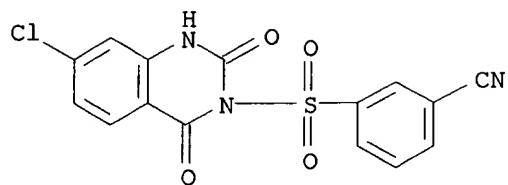
(CA INDEX NAME)



RN 189061-20-9 CAPLUS

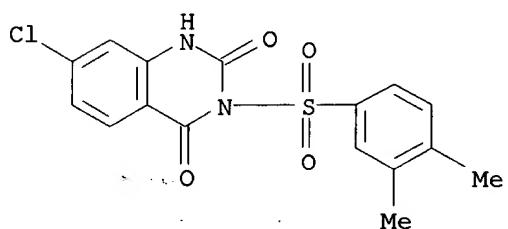
CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(3-cyanophenyl)sulfonyl]- (9CI)

(CA INDEX NAME)



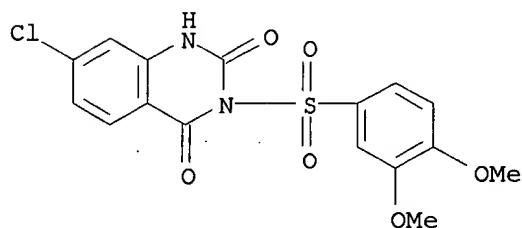
RN 189061-22-1 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(3,4-dimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



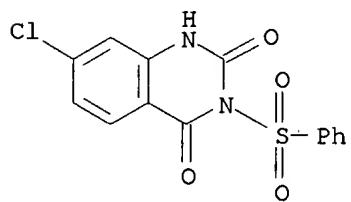
RN 189061-24-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(3,4-dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 189061-26-5 CAPLUS

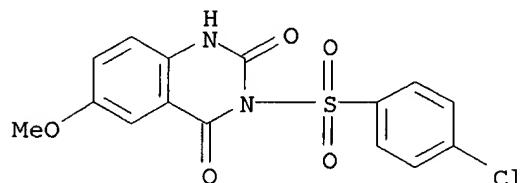
CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



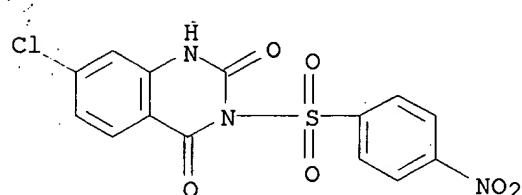
RN 189061-29-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-6-methoxy-
(9CI)

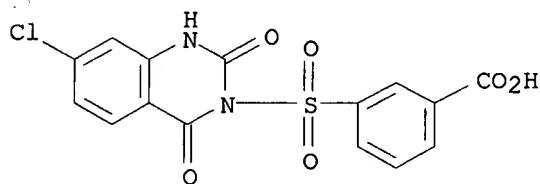
(CA INDEX NAME)



RN 189061-31-2 CAPLUS

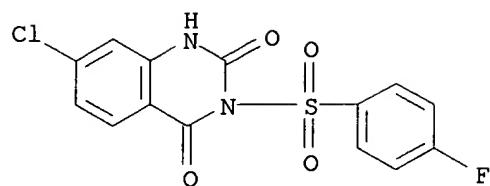
CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-nitrophenyl)sulfonyl]- (9CI)
(CA INDEX NAME)

RN 189061-35-6 CAPLUS

CN Benzoic acid, 3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-
quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)

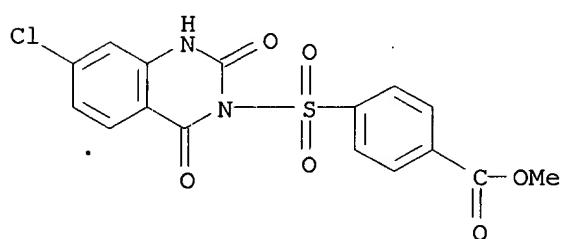
RN 189061-37-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-fluorophenyl)sulfonyl]- (9CI)
(CA INDEX NAME)



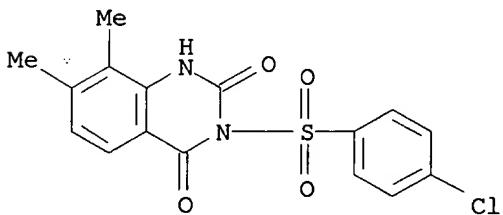
RN 189061-43-6 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



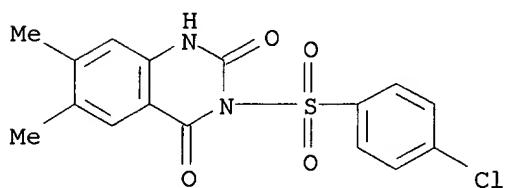
RN 189061-45-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-7,8-dimethyl- (9CI) (CA INDEX NAME)

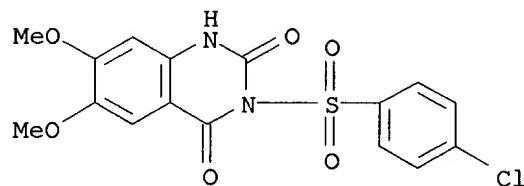


RN 189061-46-9 CAPLUS

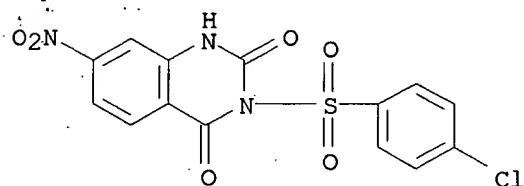
CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



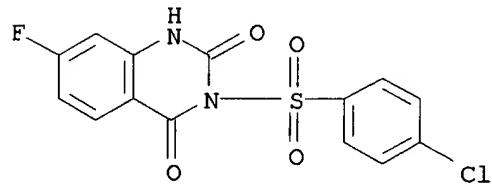
RN 189061-47-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-6,7-dimethoxy-
(9CI) (CA INDEX NAME)

RN 189061-48-1 CAPLUS

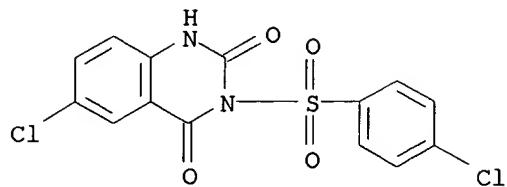
CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-7-nitro- (9CI)
(CA INDEX NAME)

RN 189061-54-9 CAPLUS

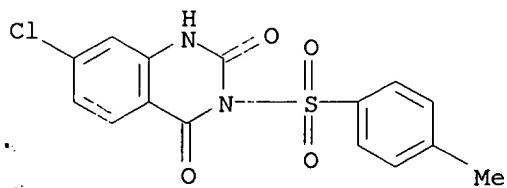
CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-7-fluoro- (9CI)
(CA INDEX NAME)

RN 189061-56-1 CAPLUS

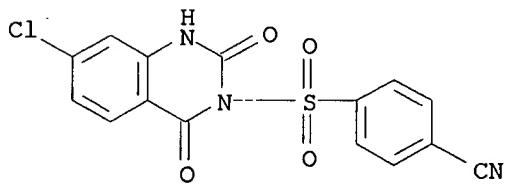
CN 2,4(1H,3H)-Quinazolinedione, 6-chloro-3-[(4-chlorophenyl)sulfonyl]- (9CI)
(CA INDEX NAME)



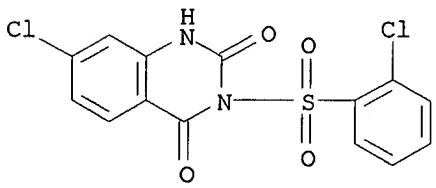
RN 189061-59-4 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-methylphenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)



RN 189062-46-2 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-cyanophenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)

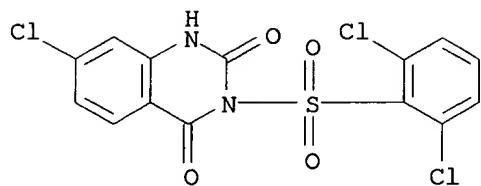


RN 189062-47-3 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(2-chlorophenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)

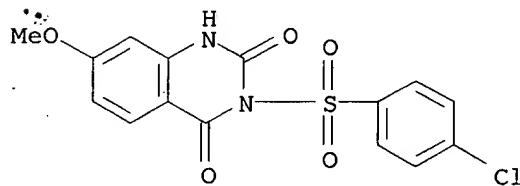


RN 189062-51-9 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(2,6-dichlorophenyl)sulfonyl]-

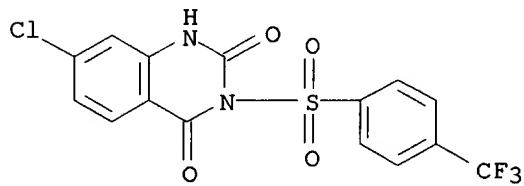
(9CI) (CA INDEX NAME)



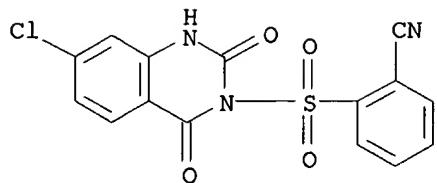
RN 189062-52-0 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-7-methoxy-
 (9CI) (CA INDEX NAME)



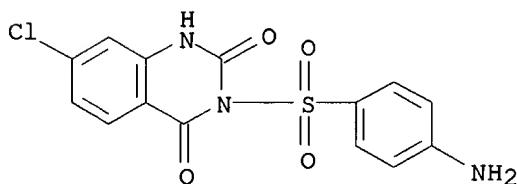
RN 189062-55-3 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione,
 7-chloro-3-[(4-(trifluoromethyl)phenyl)sulfonyl]- (9CI) (CA INDEX NAME)



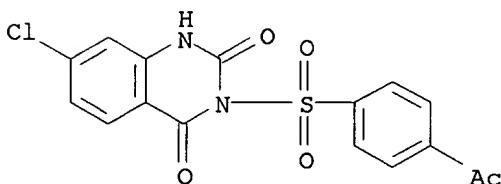
RN 189062-61-1 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(2-cyanophenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)



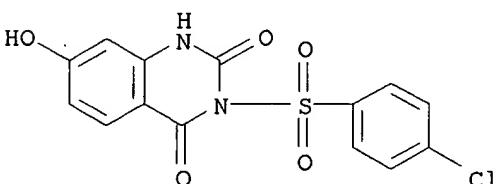
RN 189062-66-6 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-aminophenyl)sulfonyl]-7-chloro- (9CI)
 (CA INDEX NAME)



RN 189062-67-7 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-acetylphenyl)sulfonyl]-7-chloro- (9CI)
 (CA INDEX NAME)

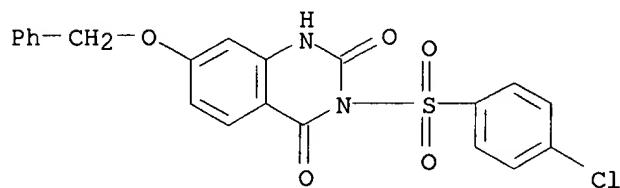


RN 189062-69-9 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-7-hydroxy- (9CI)
 (CA INDEX NAME)



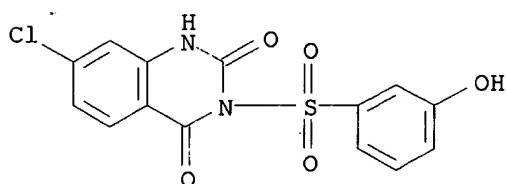
RN 189062-70-2 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



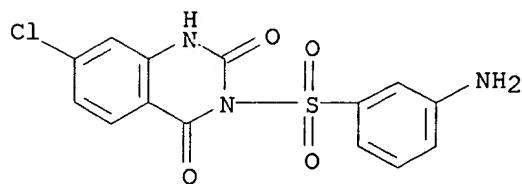
RN 259536-59-9 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(3-hydroxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



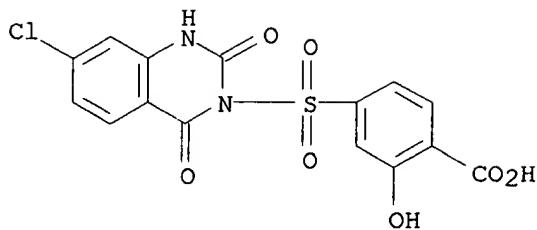
RN 259536-69-1 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(3-aminophenyl)sulfonyl]-7-chloro- (9CI) (CA INDEX NAME)



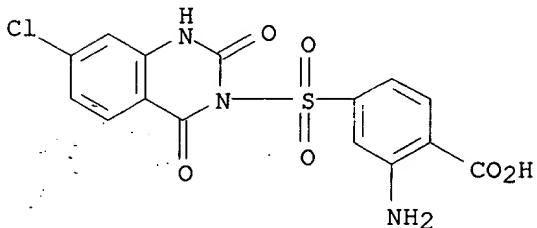
RN 259536-72-6 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-hydroxy- (9CI) (CA INDEX NAME)



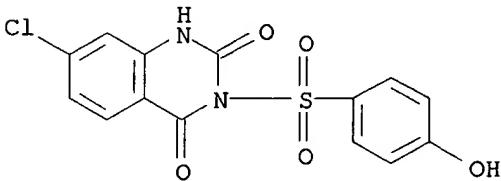
RN 259536-74-8 CAPLUS

CN Benzoic acid, 2-amino-4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



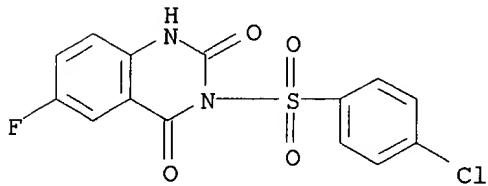
RN 259536-76-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-hydroxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

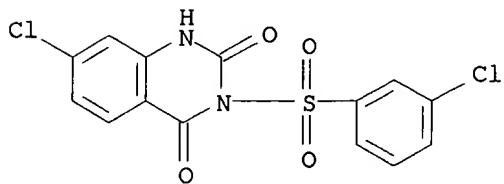


RN 294865-37-5 CAPLUS

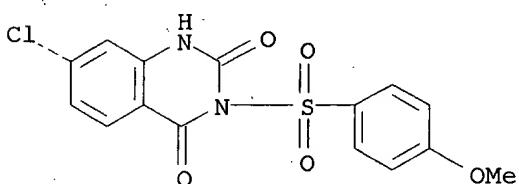
CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-6-fluoro- (9CI) (CA INDEX NAME)



RN 294865-38-6 CAPLUS

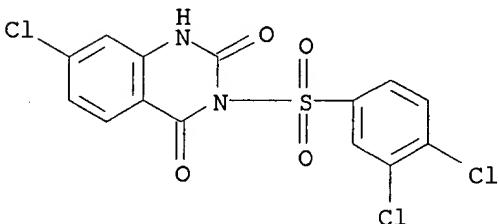
CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(3-chlorophenyl)sulfonyl]- (9CI)
(CA INDEX NAME)

RN 294865-39-7 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-methoxyphenyl)sulfonyl]- (9CI)
(CA INDEX NAME)

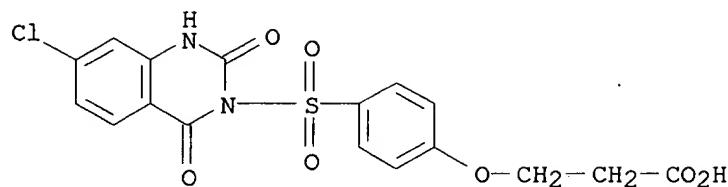
RN 294865-40-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(3,4-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 294865-41-1 CAPLUS

CN Propanoic acid, 3-[(4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)



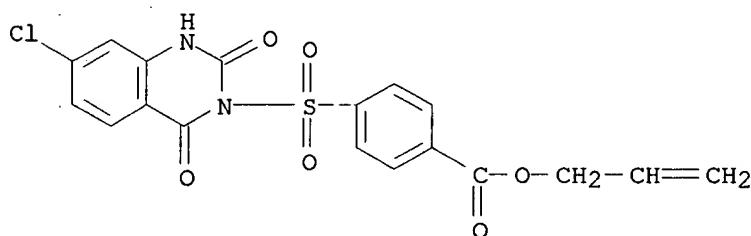
IT 189061-14-1P 189061-33-4P 189062-57-5P
 259537-02-5P 294865-42-2P 294865-43-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (substituted phenylsulfonylquinazolinedione derivs. as novel nonpeptide

inhibitors of human heart chymase in relation to structure and inhibition of chymotrypsin and cathepsin G)

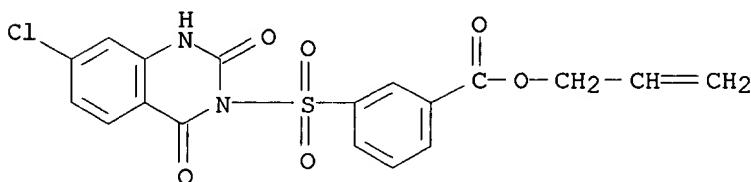
RN 189061-14-1 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)



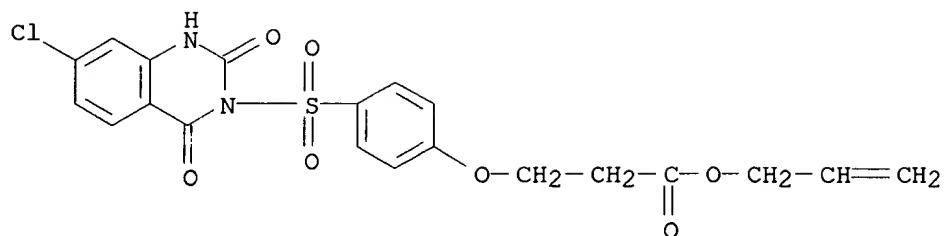
RN 189061-33-4 CAPLUS

CN Benzoic acid, 3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)



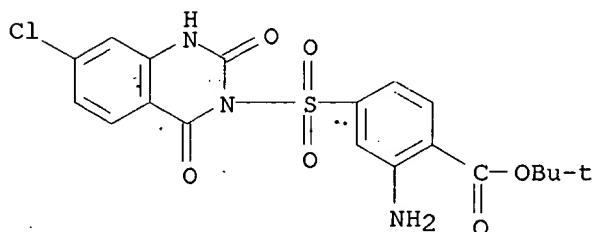
RN 189062-57-5 CAPLUS

CN Propanoic acid, 3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenoxy]-, 2-propenyl ester (9CI) (CA INDEX NAME)



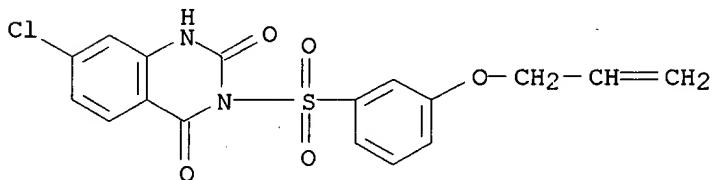
RN 259537-02-5 CAPLUS

CN Benzoic acid, 2-amino-4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



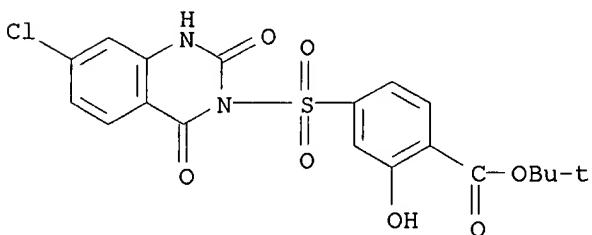
RN 294865-42-2 CAPLUS

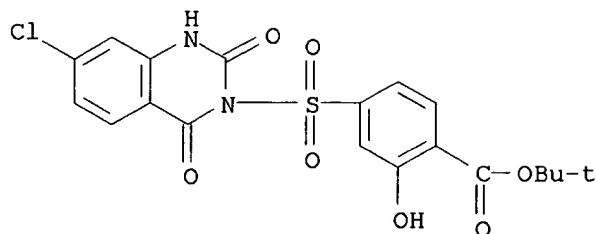
CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(3-(2-propenyl)phenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 294865-43-3 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-hydroxy-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)





RE.CNT 43

RE

- (1) Banovac, K; Proc Soc Exp Bio Med 1993, V203, P221 CAPLUS
- (3) Caughey, G; J Biol Chem 1991, V266, P12956 CAPLUS
- (4) Eda, M; Bioorg Med Chem Lett 1998, V8, P913 CAPLUS
- (5) Eda, M; Med Chem Lett 1998, V8, P919 CAPLUS
- (6) Fukami, H; Curr Pharm Des 1998, V4, P439 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

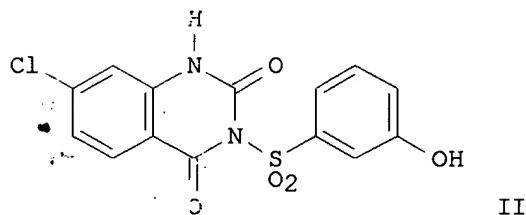
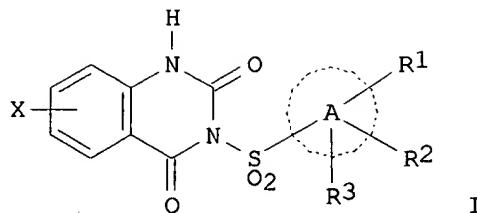
L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2001 ACS
 AN 2000:144865 CAPLUS
 DN 132:180595

TI Preparation of quinazoline derivatives as chymase inhibitors
 IN Fukami, Harukazu; Ito, Akiko; Imajo, Seiichi
 PA Suntory Limited, Japan
 SO PCT Int. Appl., 53 pp.
 CODEN: PIXXD2

DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000010982	A1	20000302	WO 1999-JP4503	19990820
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU	9953037	A1	20000314	JP 1998-235633 A	19980821
				AU 1999-53037	19990820
				JP 1998-235633 A	19980821
				WO 1999-JP4503 W	19990820
EP	1114035	A1	20010711	EP 1999-938565	19990820
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			JP 1998-235633 A	19980821
OS	MARPAT	132:180595		WO 1999-JP4503 W	19990820
GI					

Own work



AB The title compds. [I; A = aryl; R1 = OH, NH2, alkylamino, etc.; R2, R3 = H, alkyl, halo, etc.; X = H, alkyl, alkoxy, etc.] which have a chymase inhibitory activity and suppress the exacerbation of vascular permeability

induced by chymase, were prep'd. and formulated. E.g., a 3-step synthesis of II which showed IC50 of 0.36 μ M against chymase, was given.

IT 259536-60-2P 259536-69-1P 259536-72-6P

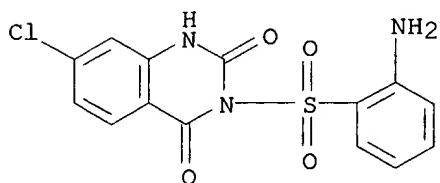
259536-74-8P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

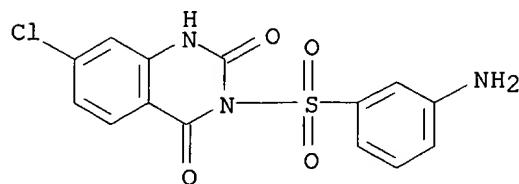
(prepn. of quinazolines as chymase inhibitors)

RN 259536-60-2 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(2-aminophenyl)sulfonyl]-7-chloro- (9CI)
(CA INDEX NAME)

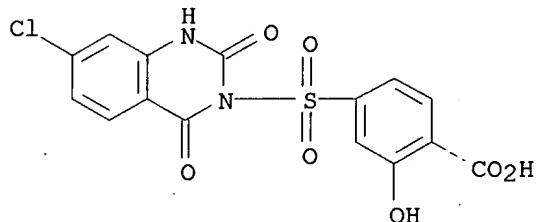


RN 259536-69-1 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(3-aminophenyl)sulfonyl]-7-chloro- (9CI)
(CA INDEX NAME)

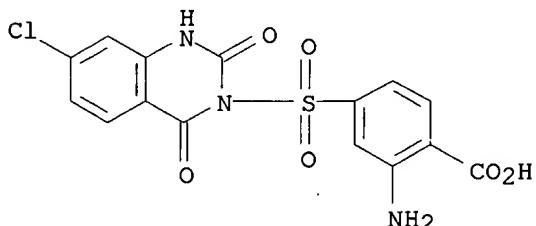
RN 259536-72-6 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-hydroxy- (9CI) (CA INDEX NAME)



RN 259536-74-8 CAPLUS

CN Benzoic acid, 2-amino-4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT 189062-66-6P 259536-59-9P 259536-61-3P

259536-62-4P 259536-63-5P 259536-64-6P

259536-65-7P 259536-66-8P 259536-67-9P

259536-68-0P 259536-70-4P 259536-71-5P

259536-73-7P 259536-75-9P 259536-76-0P

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259536-80-6P 259536-81-7P 259536-82-8P

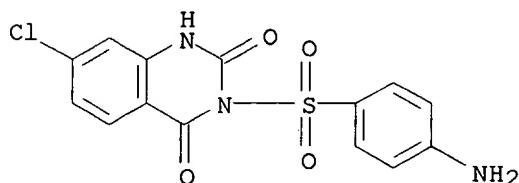
259536-83-9P 259536-84-0P 259536-87-3P

259536-88-4P 259536-89-5P 259536-90-8P

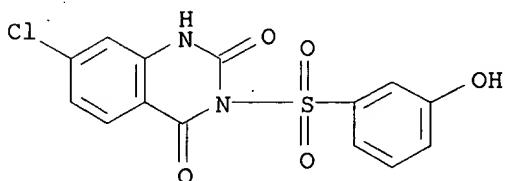
259536-91-9P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolines as chymase inhibitors)

RN 189062-66-6 CAPLUS

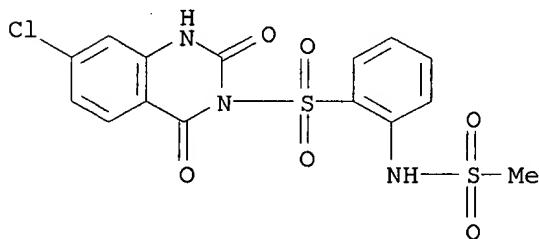
CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-aminophenyl)sulfonyl]-7-chloro- (9CI)
(CA INDEX NAME)

RN 259536-59-9 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(3-hydroxyphenyl)sulfonyl]- (9CI)
(CA INDEX NAME)

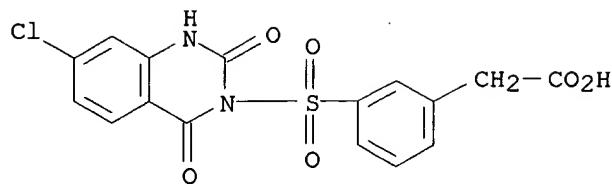
RN 259536-61-3 CAPLUS

CN Méthanesulfonamide, N-[2-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



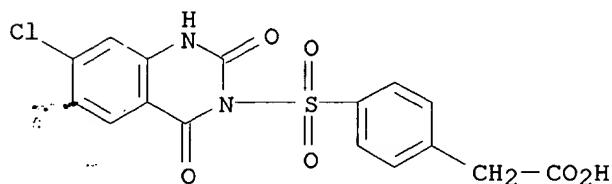
RN 259536-62-4 CAPLUS

CN Benzeneacetic acid, 3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



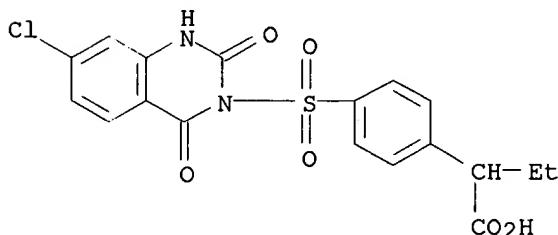
RN 259536-63-5 CAPLUS

CN Benzeneacetic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



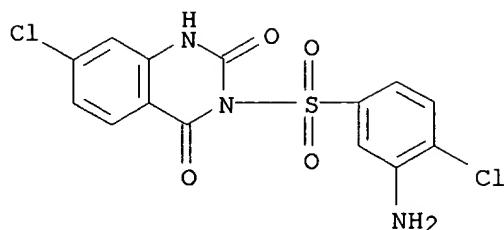
RN 259536-64-6 CAPLUS

CN Benzeneacetic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-.alpha.-ethyl- (9CI) (CA INDEX NAME)

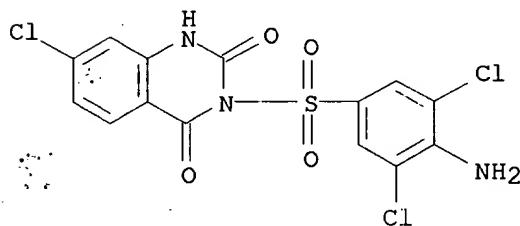


RN 259536-65-7 CAPLUS

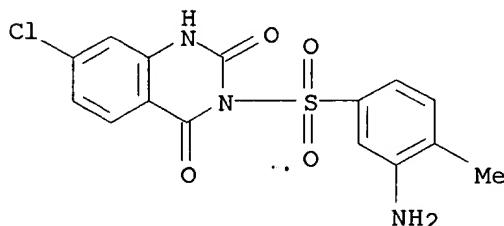
CN 2,4(1H,3H)-Quinazolinedione,
3-[(3-amino-4-chlorophenyl)sulfonyl]-7-chloro-
(9CI) (CA INDEX NAME)



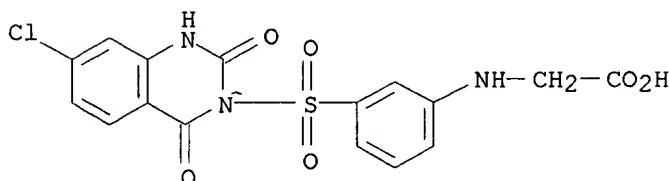
RN 259536-66-8 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-amino-3,5-dichlorophenyl)sulfonyl]-7-chloro- (9CI) (CA INDEX NAME)

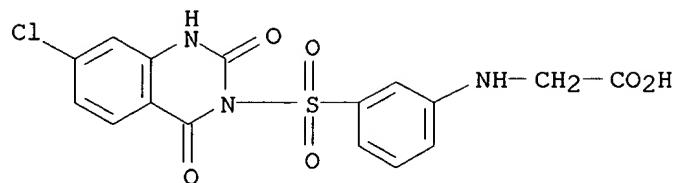


RN 259536-67-9 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione,
 3-[(3-amino-4-methylphenyl)sulfonyl]-7-chloro-
 (9CI) (CA INDEX NAME)



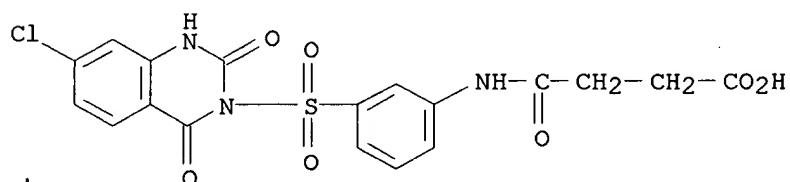
RN 259536-68-0 CAPLUS
 CN Glycine, N-[3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)





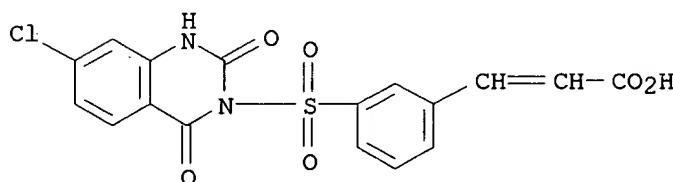
RN 259536-70-4 CAPLUS

CN Butanoic acid, 4-[(3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



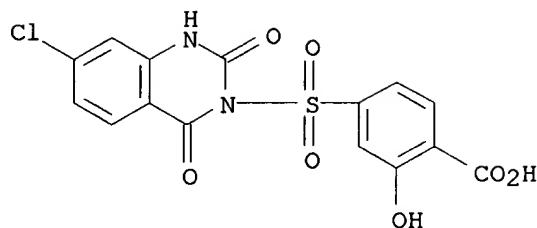
RN 259536-71-5 CAPLUS

CN 2-Propenoic acid, 3-[(3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenyl)- (9CI) (CA INDEX NAME)



RN 259536-73-7 CAPLUS

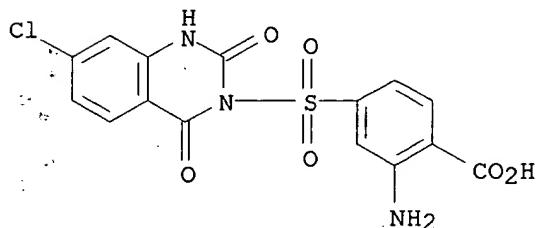
CN Benzoic acid, 4-[(3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenyl)amino]-4-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 259536-75-9 CAPLUS

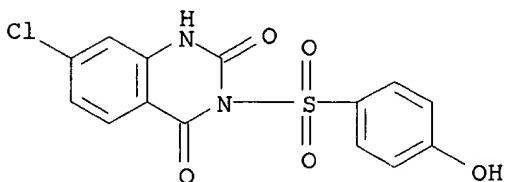
CN Benzoic acid, 2-amino-4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

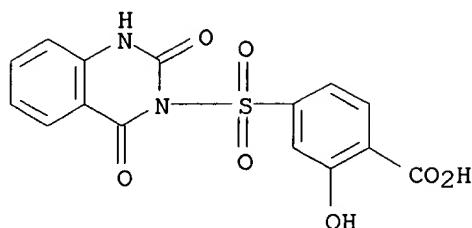
RN 259536-76-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-hydroxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



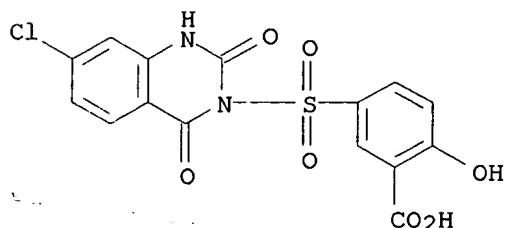
RN 259536-77-1 CAPLUS

CN Benzoic acid, 4-[(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-hydroxy- (9CI) (CA INDEX NAME)



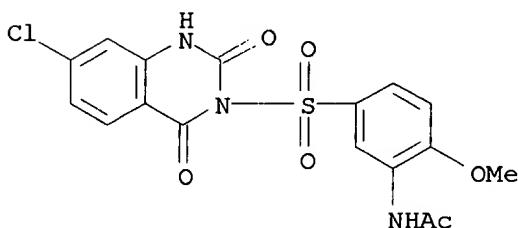
RN 259536-78-2 CAPLUS

CN Benzoic acid, 5-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-hydroxy- (9CI) (CA INDEX NAME)



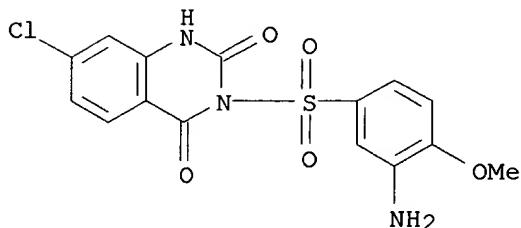
RN 259536-79-3 CAPLUS

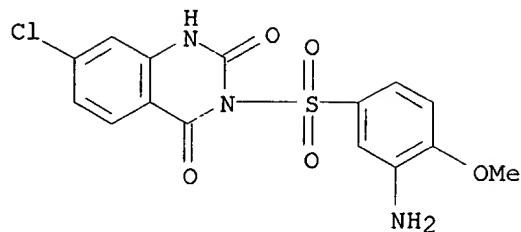
CN Acetamide, N-[5-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)



RN 259536-80-6 CAPLUS

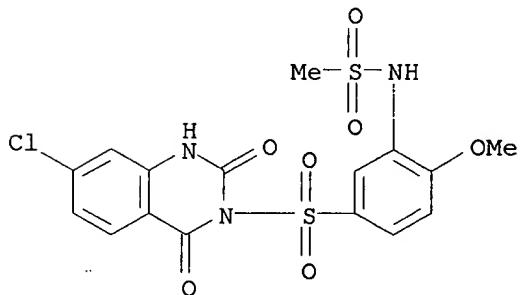
CN 2,4(1H,3H)-Quinazolinedione, 3-[(3-amino-4-methoxyphenyl)sulfonyl]-7-chloro- (9CI) (CA INDEX NAME)





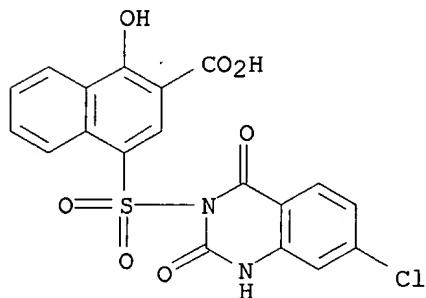
RN 259536-81-7 CAPLUS

CN Methanesulfonamide, N-[5-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)



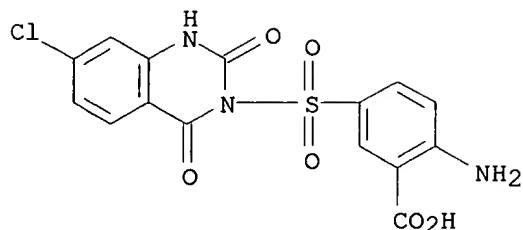
RN 259536-82-8 CAPLUS

CN 2-Naphthalene carboxylic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-1-hydroxy- (9CI) (CA INDEX NAME)



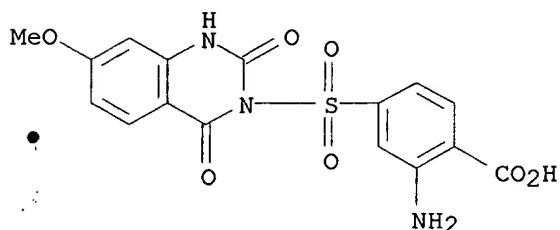
RN 259536-83-9 CAPLUS

CN Benzoic acid, 2-amino-5-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



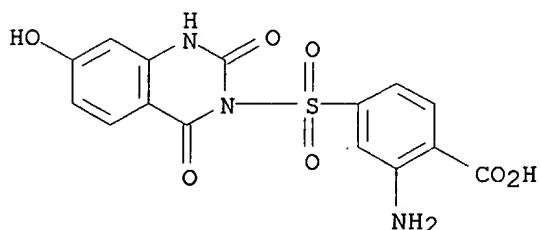
RN 259536-84-0 CAPLUS

CN Benzoic acid, 2-amino-4-[(1,4-dihydro-7-methoxy-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



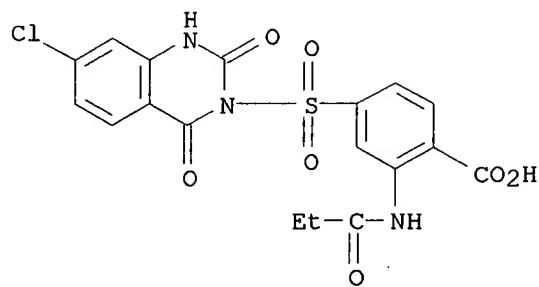
RN 259536-87-3 CAPLUS

CN Benzoic acid, 2-amino-4-[(1,4-dihydro-7-hydroxy-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



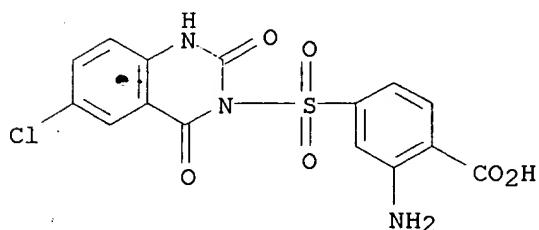
RN 259536-88-4 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-[(1-oxopropyl)amino]- (9CI) (CA INDEX NAME)



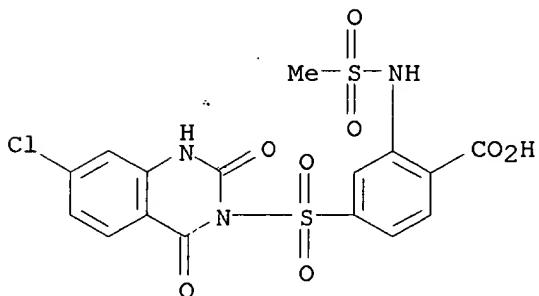
RN 259536-89-5 CAPLUS

CN Benzoic acid, 2-amino-4-[(6-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 259536-90-8 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



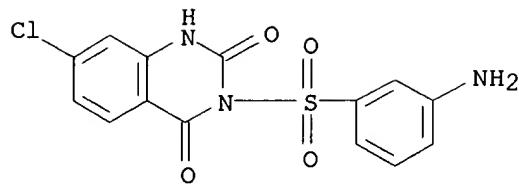
RN 259536-91-9 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(3-aminophenyl)sulfonyl]-7-chloro-, monomethanesulfonate (9CI) (CA INDEX NAME)

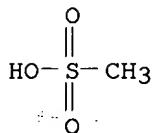
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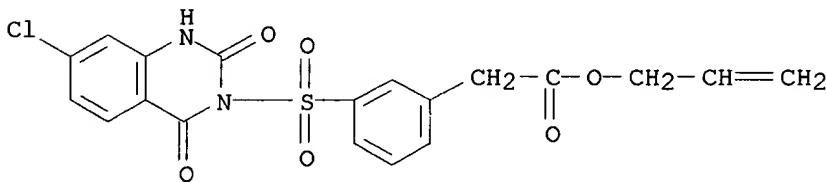
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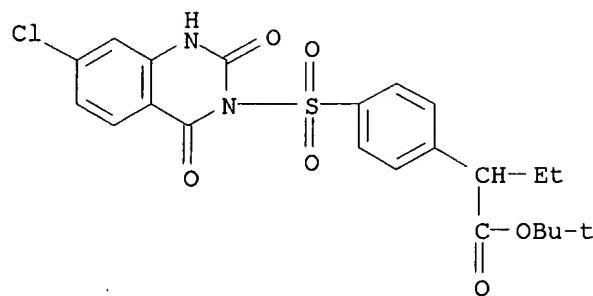
CM 2

CRN 75-75-2
CMF C H4 O3 S

IT 259536-93-1P 259536-95-3P 259537-02-5P
 259537-03-6P 259537-12-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of quinazolines as chymase inhibitors)
 RN 259536-93-1 CAPLUS
 CN Benzeneacetic acid, 3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-
 quinazolinyl)sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

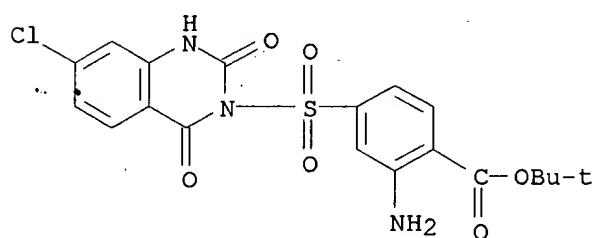


RN 259536-95-3 CAPLUS
 CN Benzeneacetic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-
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 INDEX NAME)



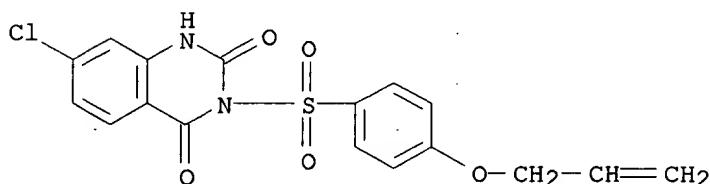
RN 259537-02-5 CAPLUS

CN Benzoic acid, 2-amino-4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



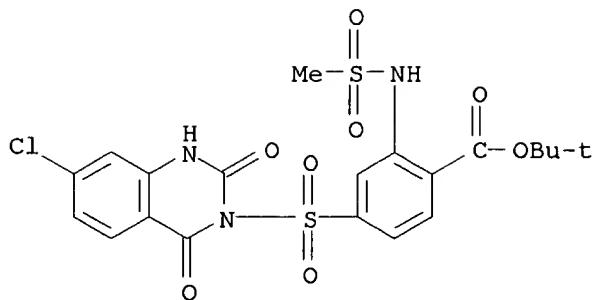
RN 259537-03-6 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-(2-propenyl)phenoxy)sulfonyl]- (9CI) (CA INDEX NAME)



RN 259537-12-7 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-2-[(methylsulfonyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 5

RE

- (1) Kunitaka, T; JP 05-169832 A 1993 CAPLUS
- (2) Liao, Y; Canadian Journal of Cardiology V11(Suppl F), P13F
- (3) Niwata, S; Journal of Medicinal Chemistry 1997, V40(14), P2156 CAPLUS
- (4) Pedersen, O; WO 9745400 A 1997 CAPLUS
- (5) Suntory Ltd; EP 0795548 A 1997 CAPLUS

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2001 ACS

AN 1997:310799 CAPLUS

DN 126:293363

TI Preparation of 2-phenylsulfonyl- and 2-(heterocyclsulfonyl)quinazoline derivatives as chymase inhibitors

IN Fukami, Harukazu; Ito, Akiko; Niwata, Shinjiro; Kakutani, Saki; Sumida, Motoo; Kiso, Yoshinobu

PA Suntory Limited, Japan; Fukami, Harukazu; Ito, Akiko; Niwata, Shinjiro; Kakutani, Saki; Sumida, Motoo; Kiso, Yoshinobu

SO PCT Int. Appl., 120 pp.

CODEN: PIXXD2

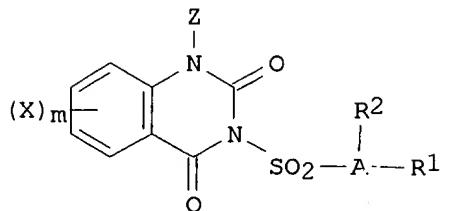
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9711941	A1	19970403	WO 1996-JP2830	19960927
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	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			JP 1996-116557	19960510
EP	795548	A1	19970917	EP 1996-932039	19960927
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			JP 1995-285437	19950928
US	5814631	A	19980929	JP 1996-116557	19960510
				WO 1996-JP2830	19960927
				US 1997-849114	19970528
				JP 1995-285437	19950928
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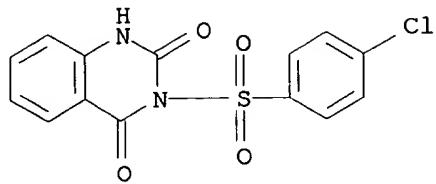
OS MARPAT 126:293363
 GI



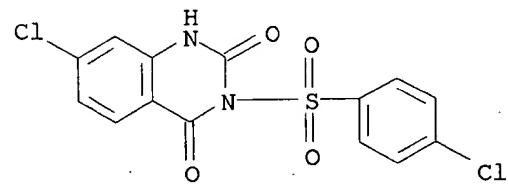
AB Quinazoline derivs. represented by general formula [I; group A = benzene, pyridine, pyrrole, or pyrazole ring; m = 0-2; X = OH, NO₂, halo, C1-4 (halo)alkyl, or (halo)alkoxy, C7-12 aralkyloxy; X = group to form a naphthalene or quinoline ring together with the benzene ring to which X is attached; R1, R2 = H, halo, C1-4 (halo)alkyl, NO₂, cyano, pyrazolyl, tetrazolyl, C1-4 alkyl, CO₂H, allyloxycarbonyl, C1-4 (un)substituted alkoxy; or R1 and R2 together with the benzene ring represent a naphthalene or quinoline ring; Z = H, C1-4 (halo)alkyl, C2-5 alkenyl, (un)substituted aralkyl, arom. heterocyclalkyl, C1-4 alkoxy carbonylmethyl, allyloxycarbonylmethyl, (1.degree. or 2.degree. amino)carbonylmethyl, (un)substituted aralkyloxymethyl; proviso given] or pharmacol. acceptable salts thereof are prep'd. They are useful as preventives/remedies for cardiac and circulatory diseases (e.g. hypertension or heart failure) caused by abnormal overprodn. of angiotensin II. Thus, a quinazolinedione deriv. (II; R = H) (prepn. given) was condensed with 3-(diethylamino)-1,5-dihydro-2,4,3-benzodioxaphosphepine in the presence of tetrazole in DMF, followed by oxidn. with m-chloroperbenzoic acid in CH₂Cl₂ and hydrogenolysis over 10% Pd-C in dioxane under H atm. to give II [R = P(O)(OH)₂]. II (R = H) and II [R = P(O)(OH)₂] showed IC₅₀ of 0.060 and 0.025 .mu.M, resp., for inhibiting human heart chymase. The title compds. I also inhibited cathepsin G and chymotrypsin. Formulation examples contg. I were given.

IT **116445-95-5P 189061-05-0P**
 RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
 SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of N-phenylsulfonyl- and N-(heterocyclsulfonyl)quinazoline derivs. as chymase inhibitors for treating heart or circulatory diseases)

RN 116445-95-5 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



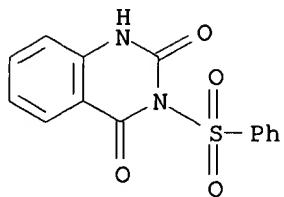
RN 189061-05-0 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-chlorophenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)



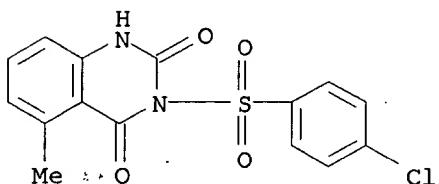
IT 116445-93-3P 189061-07-2P 189061-10-7P
 189061-12-9P 189061-14-1P 189061-16-3P
 189061-18-5P 189061-20-9P 189061-22-1P
 189061-24-3P 189061-26-5P 189061-29-8P
 189061-31-2P 189061-33-4P 189061-35-6P
 189061-37-8P 189061-39-0P 189061-41-4P
 189061-43-6P 189061-45-8P 189061-46-9P
 189061-47-0P 189061-48-1P 189061-54-9P
 189061-56-1P 189061-59-4P 189062-40-6P
 189062-46-2P 189062-47-3P 189062-50-8P
 189062-51-9P 189062-52-0P 189062-53-1P
 189062-54-2P 189062-55-3P 189062-56-4P
 189062-57-5P 189062-60-0P 189062-61-1P
 189062-62-2P 189062-63-3P 189062-64-4P
 189062-65-5P 189062-66-6P 189062-67-7P
 189062-68-8P 189062-69-9P 189062-70-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of N-phenylsulfonyl- and N-(heterocyclsulfonyl)quinazoline derivs. as chymase inhibitors for treating heart or circulatory diseases)

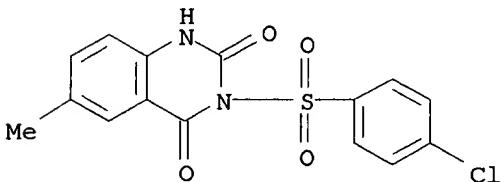
RN 116445-93-3 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



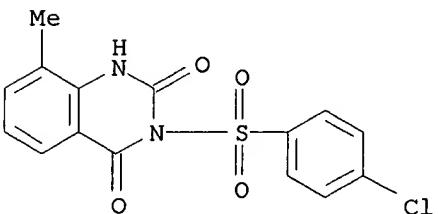
RN 189061-07-2 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-5-methyl- (9CI)
(CA INDEX NAME)

RN 189061-10-7 CAPLUS

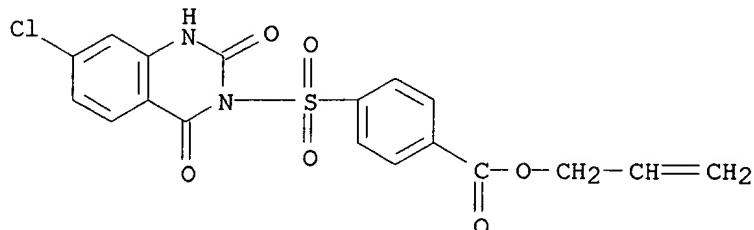
CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-6-methyl- (9CI)
(CA INDEX NAME)

RN 189061-12-9 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-8-methyl- (9CI)
(CA INDEX NAME)

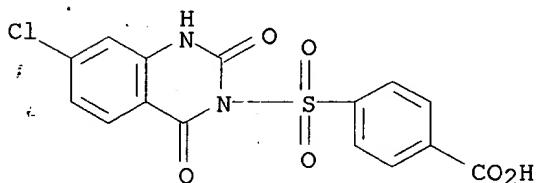
RN 189061-14-1 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)



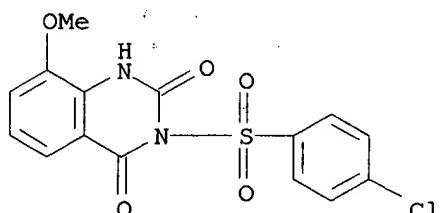
RN 189061-16-3 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



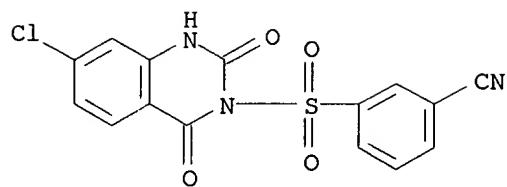
RN 189061-18-5 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-8-methoxy- (9CI) (CA INDEX NAME)

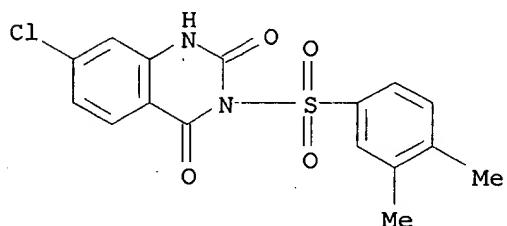


RN 189061-20-9 CAPLUS

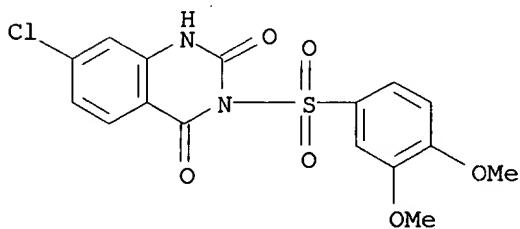
CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(3-cyanophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



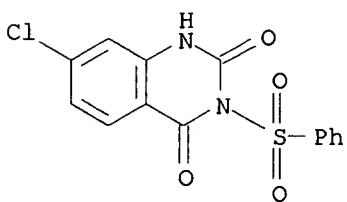
RN 189061-22-1 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(3,4-dimethylphenyl)sulfonyl]-
(9CI) (CA INDEX NAME)

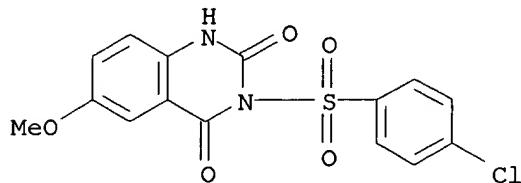
RN 189061-24-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(3,4-dimethoxyphenyl)sulfonyl]-
(9CI) (CA INDEX NAME)

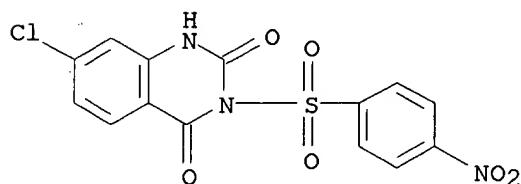
RN 189061-26-5 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-(phenylsulfonyl)- (9CI) (CA
INDEX
NAME)

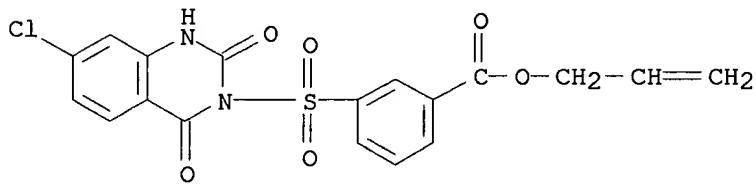
RN 189061-29-8 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-6-methoxy-
 (9CI) (CA INDEX NAME)



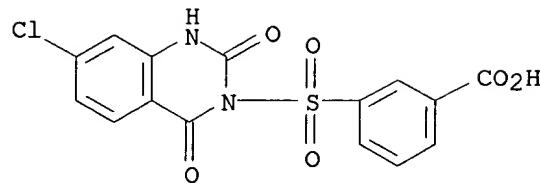
RN 189061-31-2 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-nitrophenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)



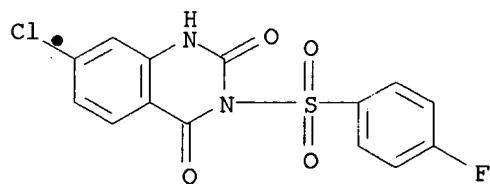
RN 189061-33-4 CAPLUS
 CN Benzoic acid, 3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-
 quinazolinyl)sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)



RN 189061-35-6 CAPLUS
 CN Benzoic acid, 3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-
 quinazolinyl)sulfonyl]- (9CI) (CA INDEX NAME)

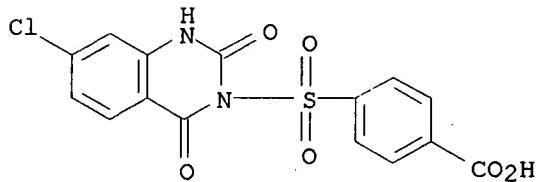


RN 189061-37-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-fluorophenyl)sulfonyl]- (9CI)
(CA INDEX NAME)

RN 189061-39-0 CAPLUS

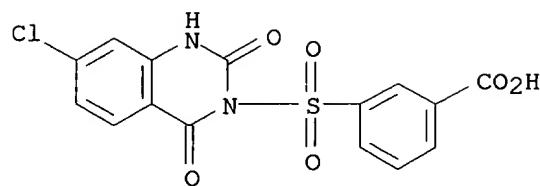
CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 189061-41-4 CAPLUS

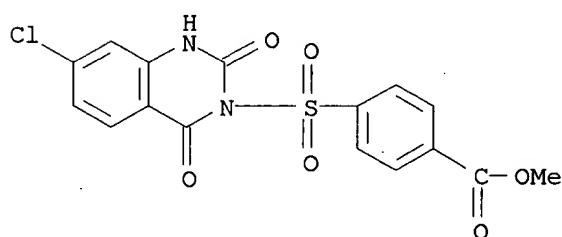
CN Benzoic acid, 3-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

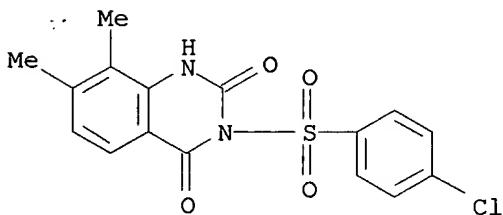
RN 189061-43-6 CAPLUS

CN Benzoic acid, 4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



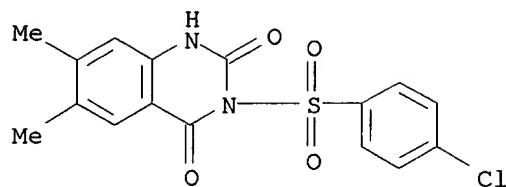
RN 189061-45-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-7,8-dimethyl- (9CI) (CA INDEX NAME)

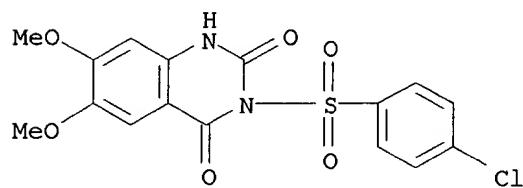


RN 189061-46-9 CAPLUS

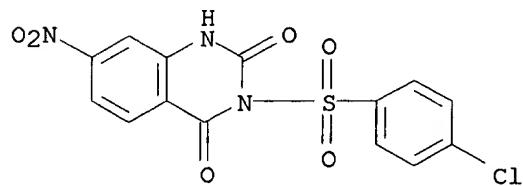
CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



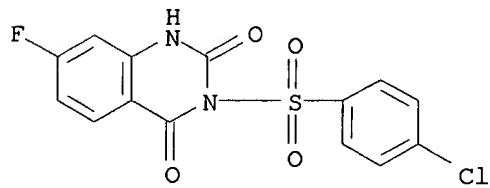
RN 189061-47-0 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-6,7-dimethoxy- (9CI) (CA INDEX NAME)



RN 189061-48-1 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-7-nitro- (9CI) (CA INDEX NAME)

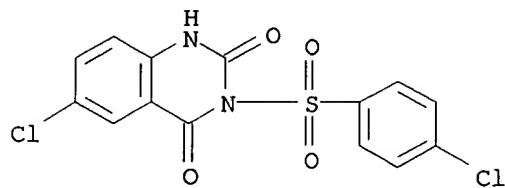


RN 189061-54-9 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-7-fluoro- (9CI) (CA INDEX NAME)

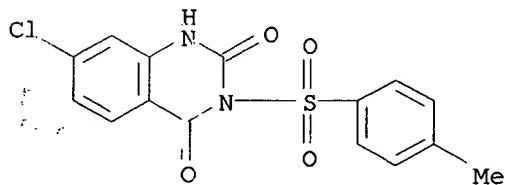


RN 189061-56-1 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 6-chloro-3-[(4-chlorophenyl)sulfonyl]- (9CI)

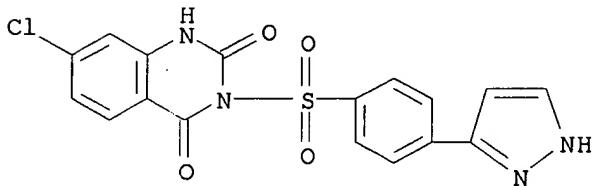
(CA INDEX NAME)



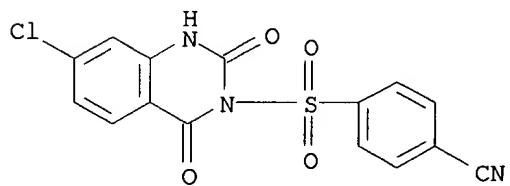
RN 189061-59-4 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-methylphenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)



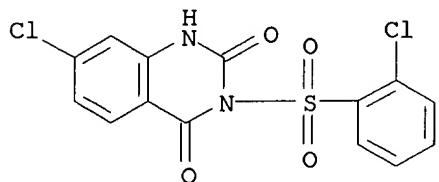
RN 189062-40-6 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-(1H-pyrazol-3-yl)phenyl)sulfonyl]- (9CI) (CA INDEX NAME)



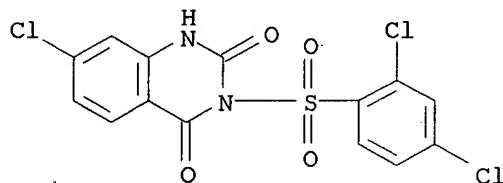
RN 189062-46-2 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-cyanophenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)



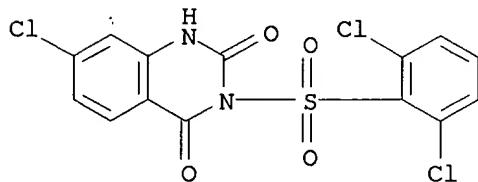
RN 189062-47-3 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(2-chlorophenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)



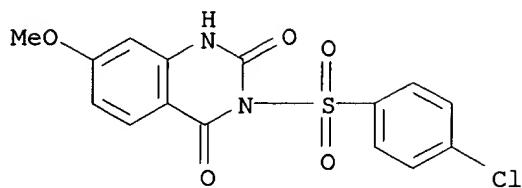
RN 189062-50-8 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(2,4-dichlorophenyl)sulfonyl]-
 (9CI) (CA INDEX NAME)



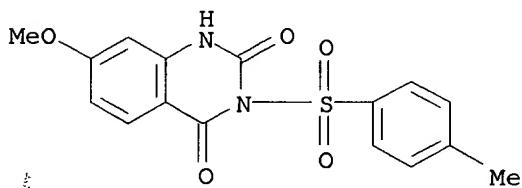
RN 189062-51-9 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(2,6-dichlorophenyl)sulfonyl]-
 (9CI) (CA INDEX NAME)



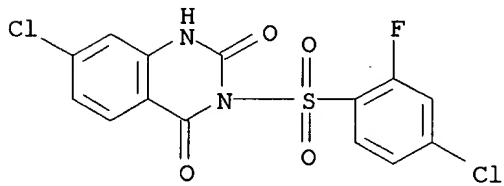
RN 189062-52-0 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-7-methoxy-
 (9CI)
 (CA INDEX NAME)



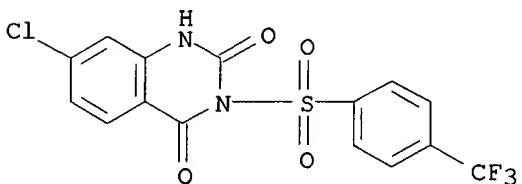
RN 189062-53-1 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-methoxy-3-[(4-methylphenyl)sulfonyl]- (9CI)
 (CA INDEX NAME)



RN 189062-54-2 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-chloro-2-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

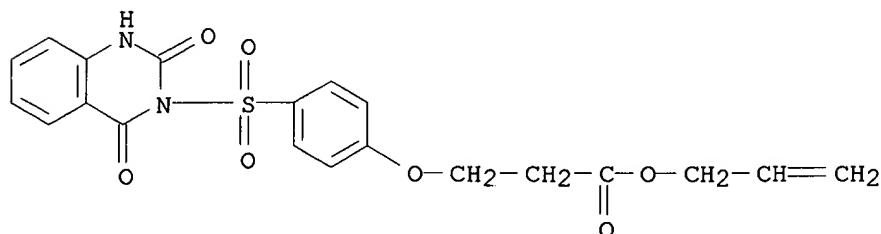


RN 189062-55-3 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione,
 7-chloro-3-[(4-(trifluoromethyl)phenyl)sulfonyl]- (9CI) (CA INDEX NAME)



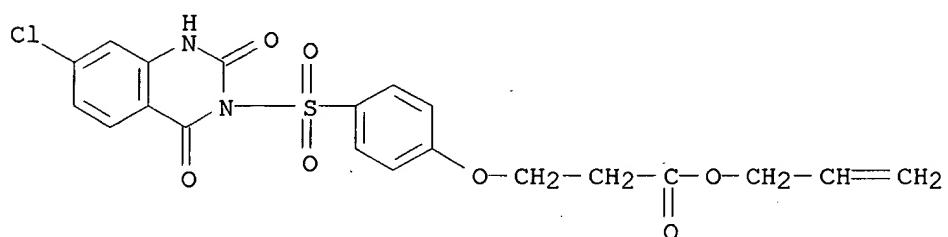
RN 189062-56-4 CAPLUS

CN Propanoic acid, 3-[4-[(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenoxy]-, 2-propenyl ester (9CI) (CA INDEX NAME)



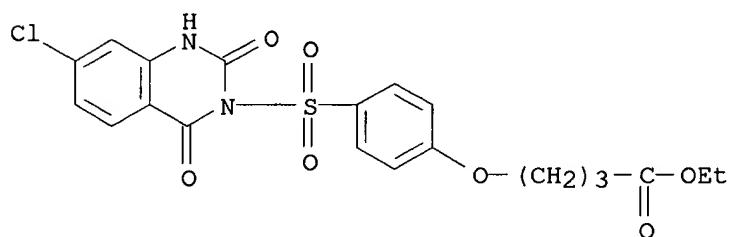
RN 189062-57-5 CAPLUS

CN Propanoic acid, 3-[4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenoxy]-, 2-propenyl ester (9CI) (CA INDEX NAME)



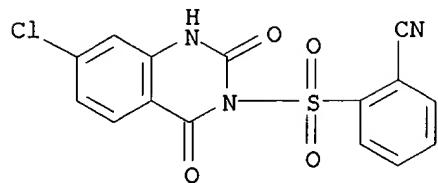
RN 189062-60-0 CAPLUS

CN Butanoic acid, 4-[4-[(7-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)sulfonyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



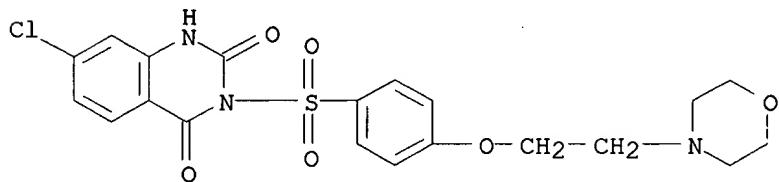
RN 189062-61-1 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(2-cyanophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



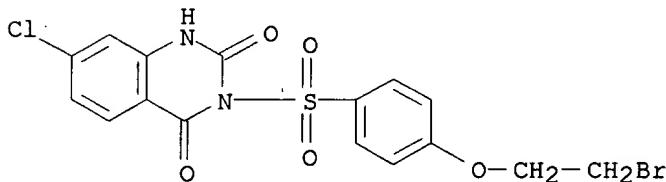
RN 189062-62-2 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-[(2-(4-morpholinyl)ethoxy]phenyl)sulfonyl]-(9CI) (CA INDEX NAME)



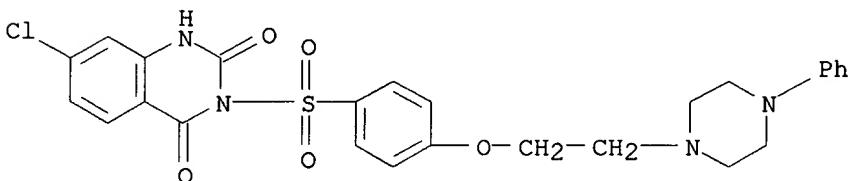
RN 189062-63-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-(2-bromoethoxy)phenyl)sulfonyl]-7-chloro- (9CI) (CA INDEX NAME)



RN 189062-64-4 CAPLUS

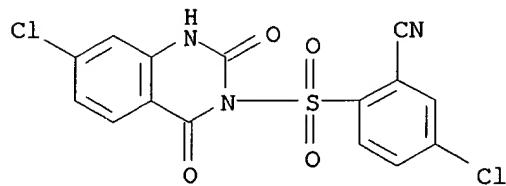
CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-[(2-(4-phenyl-1-piperazinyl)ethoxy]phenyl)sulfonyl]-(9CI) (CA INDEX NAME)



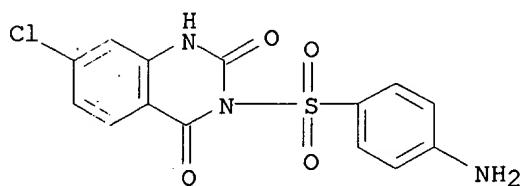
RN 189062-65-5 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[(4-chloro-2-cyanophenyl)sulfonyl]-(9CI)

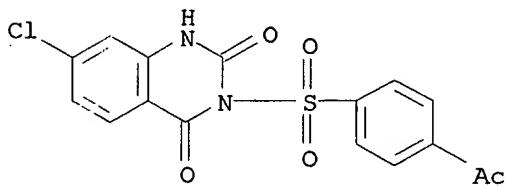
(9CI) (CA INDEX NAME)



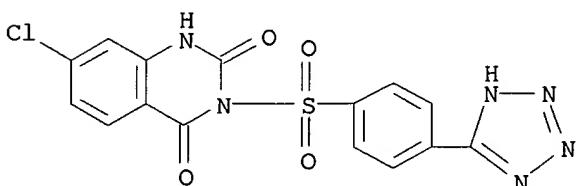
RN 189062-66-6 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-aminophenyl)sulfonyl]-7-chloro- (9CI)
 (CA INDEX NAME)



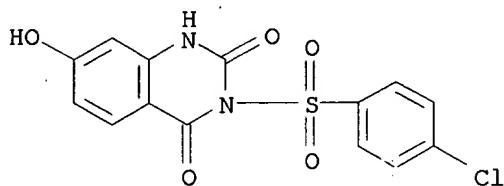
RN 189062-67-7 CAPLUS
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 (CA INDEX NAME)



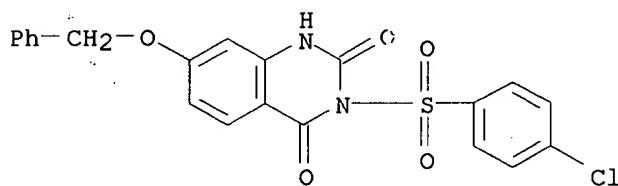
RN 189062-68-8 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-[[4-(1H-tetrazol-5-yl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



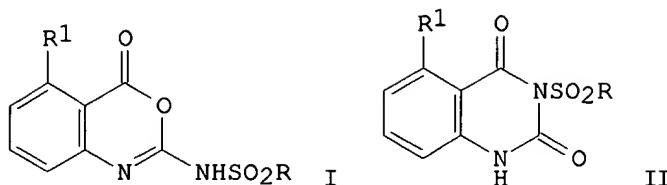
RN 189062-69-9 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-7-hydroxy-
 (9CI)
 (CA INDEX NAME)



RN 189062-70-2 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]-7-
 (phenylmethoxy)- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS
 AN 1988:528941 CAPLUS
 DN 109:128941
 TI Methyl N-(arylsulfonyl)dithiocarbamates as intermediates in heterocyclic
 synthesis. Preparation of the isomeric systems
 2-arylsulfonylamino-3,1,4H-
 benzoxazin-4-ones and 3-arylsulfonyl-2,4-(1H,3H)-quinazolinediones
 AU Garcia Tercero, J.; Lopez Aliaga, A.; Melendez Andreu, E.; Merchan
 Alvarez, F. L.; Tejero Lopez, T.
 CS Fac. Cienc., Univ. Zaragoza, Zaragoza, Spain
 SO An. Quim., Ser. C (1987), 83(2), 247-50
 CODEN: AQSBD6; ISSN: 0211-1357
 DT Journal
 LA Spanish
 OS CASREACT 109:128941
 GI



AB in Treatment of K anthranilate or its 6-chloro deriv. with (arylsulfonyl)dithiocarbamates $\text{RSO}_2\text{NHCS}_2\text{Me}$ ($\text{R} = \text{Ph, p-tolyl, p-ClC}_6\text{H}_4$), the presence of HgO in DMF at room temp., afforded benzoxazinones I ($\text{R} = \text{Ph, R1} = \text{H, Cl; R} = \text{p-tolyl, p-ClC}_6\text{H}_4, \text{R1} = \text{H}$). When the reaction was carried out at higher temp. (50.degree. in THF), isomeric quinazolinediones II were obtained.

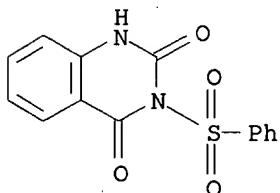
IT 116445-93-3P 116445-94-4P 116445-95-5P

116445-96-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

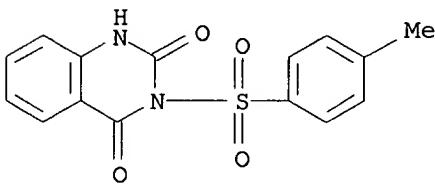
RN 116445-93-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



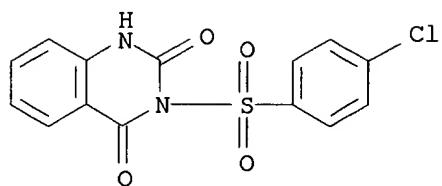
RN 116445-94-4 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

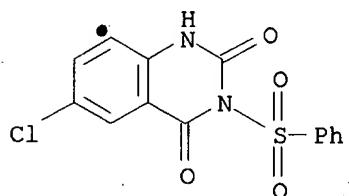


RN 116445-95-5 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[(4-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 116445-96-6 CAPLUS
 CN 2,4(1H,3H)-Quinazolinedione, 6-chloro-3-(phenylsulfonyl)- (9CI) (CA
 INDEX
 NAME)



=> log y

COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
---------------------	------------------

FULL ESTIMATED COST

23.88 157.90

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
---------------------	------------------

CA SUBSCRIBER PRICE

-2.94 -2.94

STN INTERNATIONAL LOGOFF AT 16:18:35 ON 24 JUL 2001